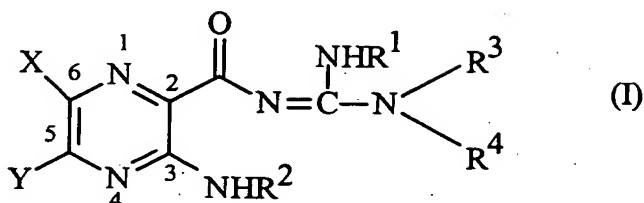


Claims:

1. A compound represented by formula (I):



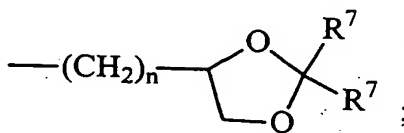
wherein

X is hydrogen, halogen, trifluoromethyl, lower alkyl, unsubstituted or substituted phenyl, lower alkyl-thio, phenyl-lower alkyl-thio, lower alkyl-sulfonyl, or phenyl-lower alkyl-sulfonyl;

Y is hydrogen, hydroxyl, mercapto, lower alkoxy, lower alkyl-thio, halogen, lower alkyl, unsubstituted or substituted mononuclear aryl, or  $-N(R^2)_2$ ;

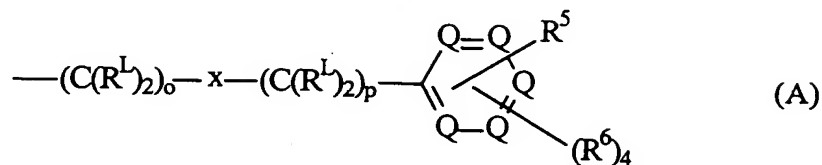
$R^1$  is hydrogen or lower alkyl;

each  $R^2$  is, independently,  $-R^7$ ,  $-(CH_2)_m-OR^8$ ,  $-(CH_2)_m-NR^7R^{10}$ ,  $-(CH_2)_n(CHOR^8)(CHOR^8)_n-CH_2OR^8$ ,  $-(CH_2CH_2O)_m-R^8$ ,  $-(CH_2CH_2O)_m-CH_2CH_2NR^7R^{10}$ ,  $-(CH_2)_n-C(=O)NR^7R^{10}$ ,  $-(CH_2)_n-Z-R^7$ ,  $-(CH_2)_m-NR^{10}-CH_2(CHOR^8)(CHOR^8)_n-CH_2OR^8$ ,  $-(CH_2)_n-CO_2R^7$ , or



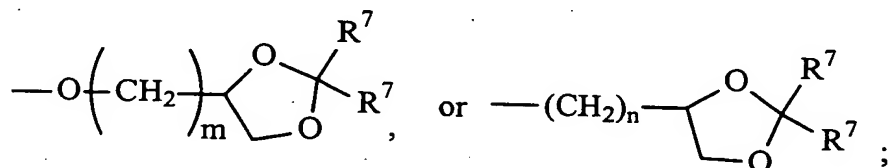
$R^3$  and  $R^4$  are each, independently, hydrogen, a group represented by formula (A), lower alkyl, hydroxy lower alkyl, phenyl, phenyl-lower alkyl, (halophenyl)-lower alkyl, lower-(alkylphenylalkyl), lower (alkoxyphenyl)-lower alkyl, naphthyl-lower alkyl, or

pyridyl- lower alkyl, with the proviso that at least one of  $R^3$  and  $R^4$  is a group represented by formula (A):



wherein

each  $\text{R}^{\text{L}}$  is, independently,  $-\text{R}^7$ ,  $-(\text{CH}_2)_n\text{---OR}^8$ ,  $-\text{O}-(\text{CH}_2)_m\text{---OR}^8$ ,  $-(\text{CH}_2)_n\text{---NR}^7\text{R}^{10}$ ,  $-\text{O}-(\text{CH}_2)_m\text{---NR}^7\text{R}^{10}$ ,  $-(\text{CH}_2)_n(\text{CHOR}^8)(\text{CHOR}^8)_n\text{---CH}_2\text{OR}^8$ ,  $-\text{O}-(\text{CH}_2)_m(\text{CHOR}^8)(\text{CHOR}^8)_n\text{---CH}_2\text{OR}^8$ ,  $-(\text{CH}_2\text{CH}_2\text{O})_m\text{---R}^8$ ,  $-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_m\text{---R}^8$ ,  $-(\text{CH}_2\text{CH}_2\text{O})_m\text{---CH}_2\text{CH}_2\text{NR}^7\text{R}^{10}$ ,  $-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_m\text{---CH}_2\text{CH}_2\text{NR}^7\text{R}^{10}$ ,  $-(\text{CH}_2)_n\text{---C(=O)NR}^7\text{R}^{10}$ ,  $-\text{O}-(\text{CH}_2)_m\text{---C(=O)NR}^7\text{R}^{10}$ ,  $-(\text{CH}_2)_n\text{---(Z)}_g\text{---R}^7$ ,  $-\text{O}-(\text{CH}_2)_m\text{---(Z)}_g\text{---R}^7$ ,  $-(\text{CH}_2)_n\text{---NR}^{10}\text{---CH}_2(\text{CHOR}^8)(\text{CHOR}^8)_n\text{---CH}_2\text{OR}^8$ ,  $-\text{O}-(\text{CH}_2)_m\text{---NR}^{10}\text{---CH}_2(\text{CHOR}^8)(\text{CHOR}^8)_n\text{---CH}_2\text{OR}^8$ ,  $-(\text{CH}_2)_n\text{---CO}_2\text{R}^7$ ,  $-\text{O}-(\text{CH}_2)_m\text{---CO}_2\text{R}^7$ ,  $-\text{OSO}_3\text{H}$ ,  $-\text{O-glucuronide}$ ,  $-\text{O-glucose}$ ,



each  $o$  is, independently, an integer from 0 to 10;

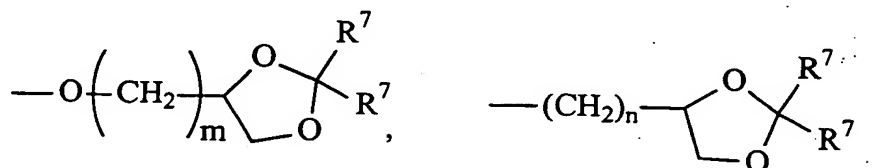
each  $p$  is an integer from 0 to 10;

with the proviso that the sum of  $o$  and  $p$  in each contiguous chain is from 1 to 10;

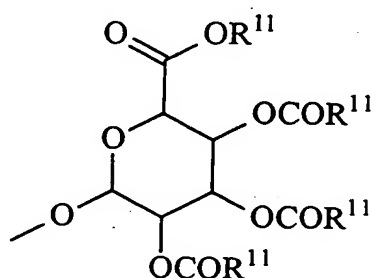
each  $x$  is, independently,  $\text{O}$ ,  $\text{NR}^{10}$ ,  $\text{C(=O)}$ ,  $\text{CHOH}$ ,  $\text{C(=N-R}^{10})$ ,  $\text{CHNR}^7\text{R}^{10}$ , or represents a single bond;

each  $\text{R}^5$  is, independently,  $-(\text{CH}_2)_m\text{---OR}^8$ ,  $-\text{O}-(\text{CH}_2)_m\text{---OR}^8$ ,  $-(\text{CH}_2)_n\text{---NR}^7\text{R}^{10}$ ,  $-\text{O}-(\text{CH}_2)_m\text{---NR}^7\text{R}^{10}$ ,  $-(\text{CH}_2)_n(\text{CHOR}^8)(\text{CHOR}^8)_n\text{---CH}_2\text{OR}^8$ ,  $-\text{O}-(\text{CH}_2)_m(\text{CHOR}^8)(\text{CHOR}^8)_n\text{---CH}_2\text{OR}^8$ ,  $-(\text{CH}_2\text{CH}_2\text{O})_m\text{---R}^8$ ,

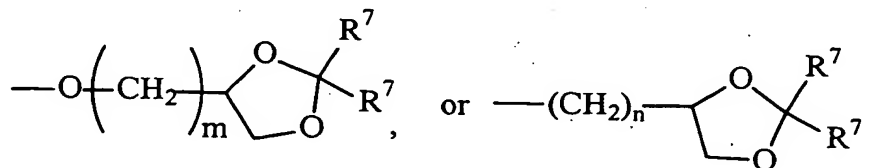
$-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_m-\text{R}^8$ ,  $-(\text{CH}_2\text{CH}_2\text{O})_m-\text{CH}_2\text{CH}_2\text{NR}^7\text{R}^{10}$ ,  
 $-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_m-\text{CH}_2\text{CH}_2\text{NR}^7\text{R}^{10}$ ,  $-(\text{CH}_2)_n-\text{C}(=\text{O})\text{NR}^7\text{R}^{10}$ ,  
 $-\text{O}-(\text{CH}_2)_m-\text{C}(=\text{O})\text{NR}^7\text{R}^{10}$ ,  $-(\text{CH}_2)_n-(\text{Z})_g-\text{R}^7$ ,  $-\text{O}-(\text{CH}_2)_m-(\text{Z})_g-\text{R}^7$ ,  
 $-(\text{CH}_2)_n-\text{NR}^{10}-\text{CH}_2(\text{CHOR}^8)(\text{CHOR}^8)_n-\text{CH}_2\text{OR}^8$ ,  
 $-\text{O}-(\text{CH}_2)_m-\text{NR}^{10}-\text{CH}_2(\text{CHOR}^8)(\text{CHOR}^8)_n-\text{CH}_2\text{OR}^8$ ,  
 $-(\text{CH}_2)_n-\text{CO}_2\text{R}^7$ ,  $-\text{O}-(\text{CH}_2)_m-\text{CO}_2\text{R}^7$ ,  $-\text{OSO}_3\text{H}$ ,  $-\text{O-glucuronide}$ ,  $-\text{O-glucose}$ ,



or



each  $\text{R}^6$  is, independently,  $-\text{R}^7$ ,  $-\text{OR}^{11}$ ,  $-\text{N}(\text{R}^7)_2$ ,  $-(\text{CH}_2)_m-\text{OR}^8$ ,  
 $-\text{O}-(\text{CH}_2)_m-\text{OR}^8$ ,  $-(\text{CH}_2)_n-\text{NR}^7\text{R}^{10}$ ,  $-\text{O}-(\text{CH}_2)_m-\text{NR}^7\text{R}^{10}$ ,  
 $-(\text{CH}_2)_n(\text{CHOR}^8)(\text{CHOR}^8)_n-\text{CH}_2\text{OR}^8$ ,  $-\text{O}-(\text{CH}_2)_m(\text{CHOR}^8)(\text{CHOR}^8)_n-\text{CH}_2\text{OR}^8$ ,  
 $-(\text{CH}_2\text{CH}_2\text{O})_m-\text{R}^8$ ,  $-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_m-\text{R}^8$ ,  $-(\text{CH}_2\text{CH}_2\text{O})_m-\text{CH}_2\text{CH}_2\text{NR}^7\text{R}^{10}$ ,  
 $-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_m-\text{CH}_2\text{CH}_2\text{NR}^7\text{R}^{10}$ ,  $-(\text{CH}_2)_n-\text{C}(=\text{O})\text{NR}^7\text{R}^{10}$ ,  
 $-\text{O}-(\text{CH}_2)_m-\text{C}(=\text{O})\text{NR}^7\text{R}^{10}$ ,  $-(\text{CH}_2)_n-(\text{Z})_g-\text{R}^7$ ,  $-\text{O}-(\text{CH}_2)_m-(\text{Z})_g-\text{R}^7$ ,  
 $-(\text{CH}_2)_n-\text{NR}^{10}-\text{CH}_2(\text{CHOR}^8)(\text{CHOR}^8)_n-\text{CH}_2\text{OR}^8$ ,  
 $-\text{O}-(\text{CH}_2)_m-\text{NR}^{10}-\text{CH}_2(\text{CHOR}^8)(\text{CHOR}^8)_n-\text{CH}_2\text{OR}^8$ ,  
 $-(\text{CH}_2)_n-\text{CO}_2\text{R}^7$ ,  $-\text{O}-(\text{CH}_2)_m-\text{CO}_2\text{R}^7$ ,  $-\text{OSO}_3\text{H}$ ,  $-\text{O-glucuronide}$ ,  $-\text{O-glucose}$ ,

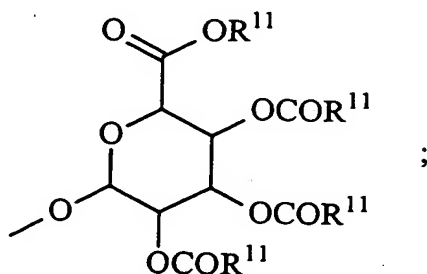


wherein when two  $\text{R}^6$  are  $-\text{OR}^{11}$  and are located adjacent to each other on a phenyl ring, the alkyl moieties of the two  $\text{R}^6$  may be bonded together to form a methylenedioxy

group;

each  $R^7$  is, independently, hydrogen or lower alkyl;

each  $R^8$  is, independently, hydrogen, lower alkyl,  $-C(=O)-R^{11}$ , glucuronide, 2-tetrahydropyranyl, or



each  $R^9$  is, independently,  $-CO_2R^7$ ,  $-CON(R^7)_2$ ,  $-SO_2CH_3$ , or  $-C(=O)R^7$ ;

each  $R^{10}$  is, independently,  $-H$ ,  $-SO_2CH_3$ ,  $-CO_2R^7$ ,  $-C(=O)NR^7R^9$ ,  $-C(=O)R^7$ , or  $-CH_2-(CHOH)_n-CH_2OH$ ;

each  $Z$  is, independently,  $CHOH$ ,  $C(=O)$ ,  $CHNR^7R^{10}$ ,  $C=NR^{10}$ , or  $NR^{10}$ ;

each  $R^{11}$  is, independently, lower alkyl;

each  $g$  is, independently, an integer from 1 to 6;

each  $m$  is, independently, an integer from 1 to 7;

each  $n$  is, independently, an integer from 0 to 7;

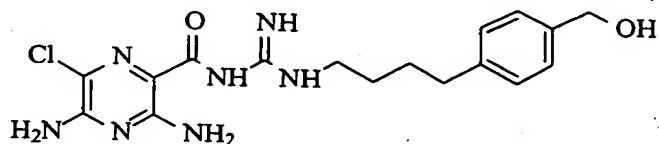
each  $Q$  is, independently,  $C-R^5$ ,  $C-R^6$ , or a nitrogen atom, wherein at most three  $Q$  in a ring are nitrogen atoms;

or a pharmaceutically acceptable salt thereof, and

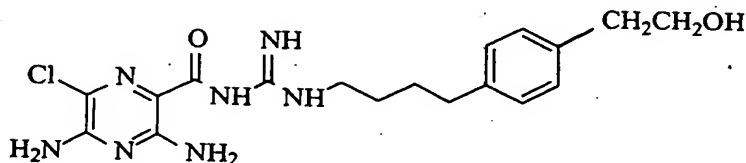
inclusive of all enantiomers, diastereomers, and racemic mixtures thereof.

2. The compound of Claim 1, wherein  $Y$  is  $-NH_2$ .
3. The compound of Claim 2, wherein  $R^2$  is hydrogen.
4. The compound of Claim 3, wherein  $R^1$  is hydrogen.
5. The compound of Claim 4, wherein  $X$  is chlorine.

6. The compound of Claim 5, wherein  $R^3$  is hydrogen.
7. The compound of Claim 6, wherein each  $R^L$  is hydrogen.
8. The compound of Claim 7, wherein  $o$  is 4.
9. The compound of Claim 8, wherein  $p$  is 0.
10. The compound of Claim 9, wherein  $x$  represents a single bond.
11. The compound of Claim 10, wherein each  $R^6$  is hydrogen.
12. The compound of Claim 11, wherein at most one  $Q$  is a nitrogen atom.
13. The compound of Claim 12, wherein no  $Q$  is a nitrogen atom.
14. The compound of Claim 13, wherein  $R^5$  is  $-(CH_2)_m-OR^8$ .
15. The compound of Claim 14, which is represented by the formula:

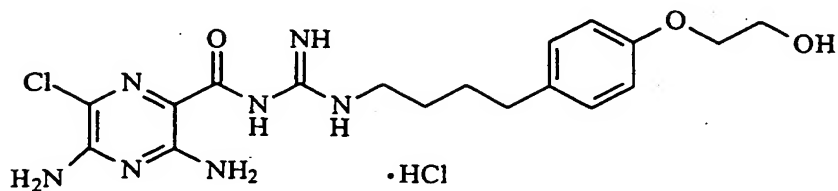


16. The compound of Claim 14, which is represented by the formula:

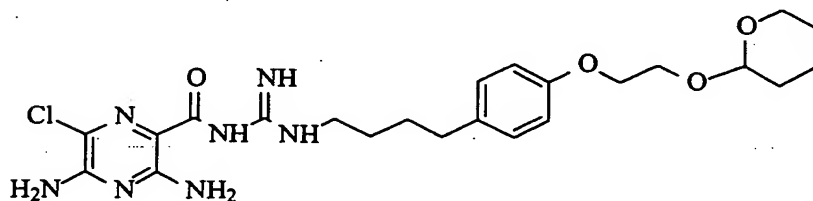


17. The compound of Claim 13, wherein  $R^5$  is  $-O-(CH_2)_m-OR^8$ .

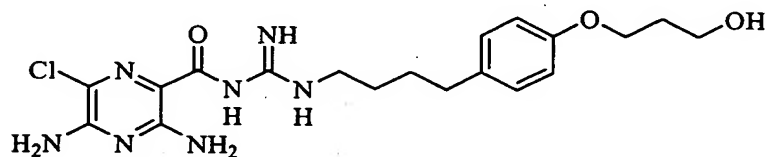
18. The compound of Claim 17, which is represented by the formula:



19. The compound of Claim 17, which is represented by the formula:

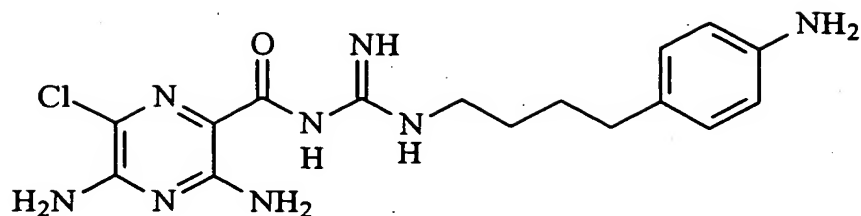


20. The compound of Claim 17, which is represented by the formula:



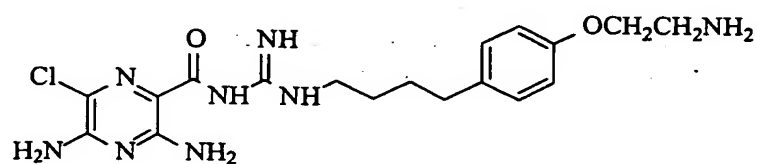
21. The compound of Claim 13, wherein  $R^5$  is  $-(CH_2)_n-NR^7R^{10}$ .

22. The compound of Claim 21, which is represented by the formula:

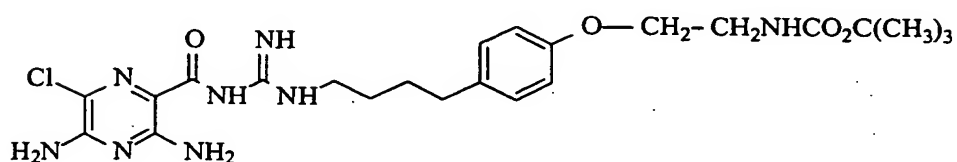


23. The compound of Claim 13, wherein  $R^5$  is  $-O-(CH_2)_m-NR^7R^{10}$ .

24. The compound of Claim 23, which is represented by the formula:



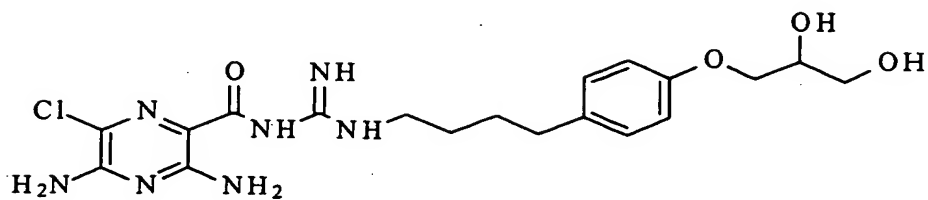
25. The compound of Claim 23, which is represented by the formula:



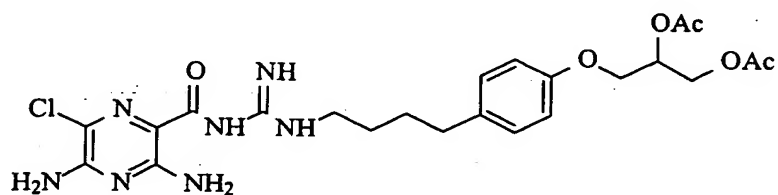
26. The compound of Claim 13, wherein  $R^5$  is  $-(CH_2)_n(CHOR^8)(CHOR^8)_n-CH_2OR^8$ .

27. The compound of Claim 13, wherein  $R^5$  is  $-O-(CH_2)_m(CHOR^8)(CHOR^8)_n-CH_2OR^8$ .

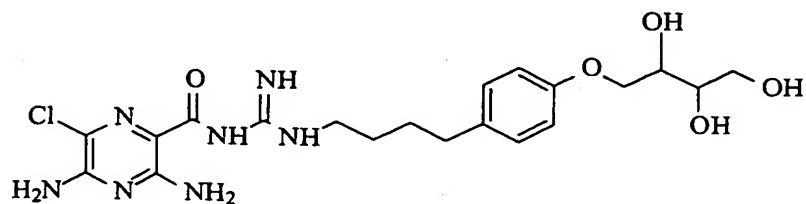
28. The compound of Claim 27, which is represented by the formula:



29. The compound of Claim 27, which is represented by the formula:

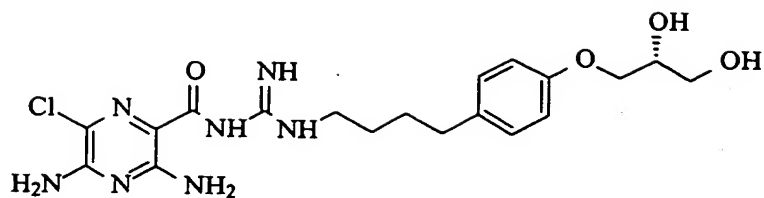


30. The compound of Claim 27, which is represented by the formula:

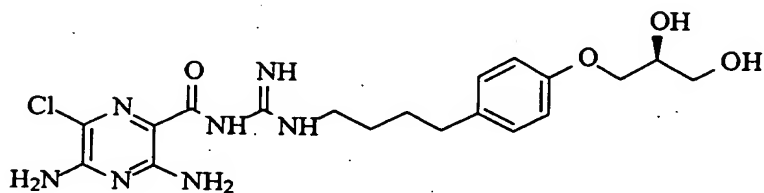


31. The compound of Claim 27, which is represented by the formula:





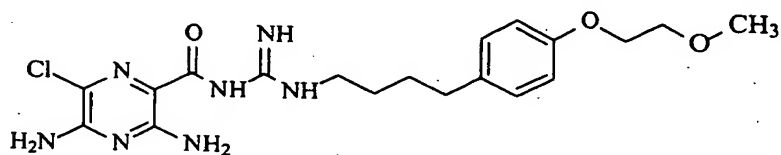
32. The compound of Claim 27, which is represented by the formula:



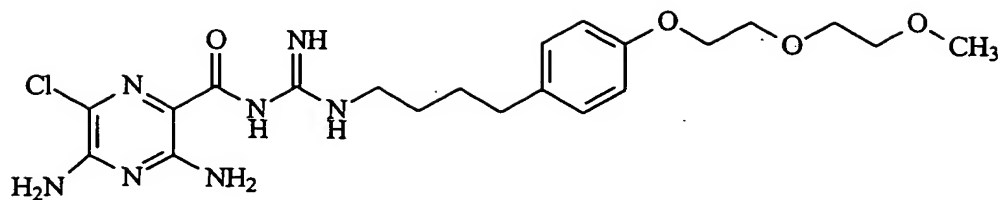
33. The compound of Claim 13, wherein  $R^5$  is  $-(CH_2CH_2O)_m-R^8$ .

34. The compound of Claim 13, wherein  $R^5$  is  $-O-(CH_2CH_2O)_m-R^8$ .

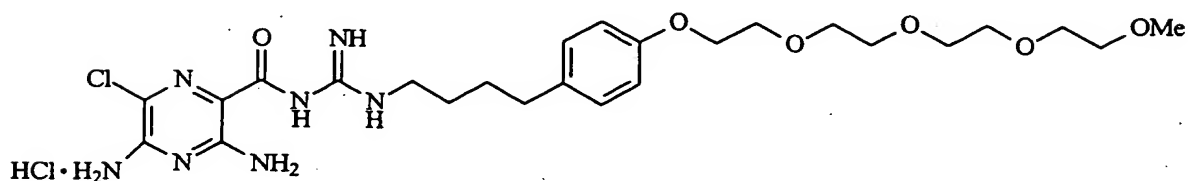
35. The compound of Claim 34, which is represented by the formula:



36. The compound of Claim 34, which is represented by the formula:



37. The compound of Claim 34, which is represented by the formula:



38. The compound of Claim 13, wherein  $R^5$  is  $-(CH_2CH_2O)_m-CH_2CH_2NR^7R^{10}$ .

39. The compound of Claim 13, wherein  $R^5$  is  $-O-(CH_2CH_2O)_m-CH_2CH_2NR^7R^{10}$ .

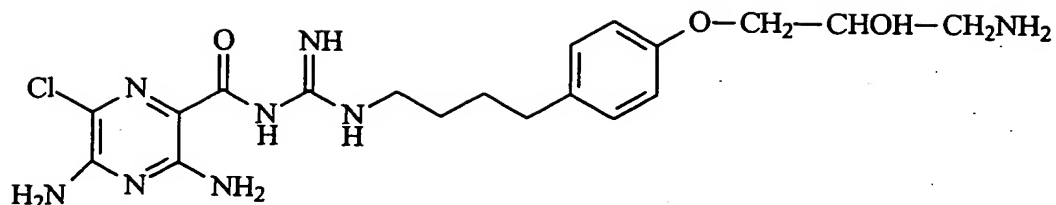
40. The compound of Claim 13, wherein  $R^5$  is  $-(CH_2)_n-C(=O)NR^7R^{10}$ .

41. The compound of Claim 13, wherein  $R^5$  is  $-O-(CH_2)_m-C(=O)NR^7R^{10}$ .

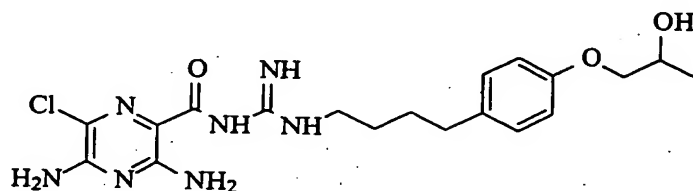
42. The compound of Claim 13, wherein  $R^5$  is  $-(CH_2)_n-(Z)_g-R^7$ .

43. The compound of Claim 13, wherein  $R^5$  is  $-O-(CH_2)_m-(Z)_g-R^7$ .

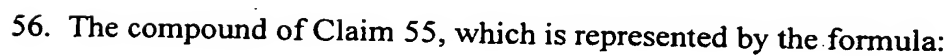
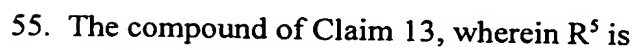
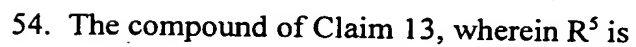
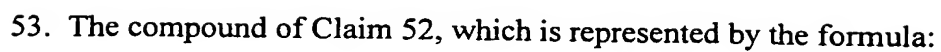
44. The compound of Claim 43, which is represented by the formula:

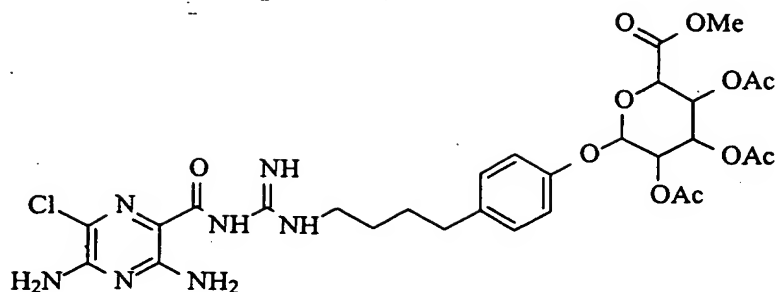


45. The compound of Claim 43, which is represented by the formula:



46. The compound of Claim 13, wherein  $R^5$  is  $-(CH_2)_n-NR^{10}-CH_2(CHOR^8)$   
 $(CHOR^8)_n-CH_2OR^8$ .
47. The compound of Claim 13, wherein  $R^5$  is  $-O-(CH_2)_m-NR^{10}-CH_2(CHOR^8)$   
 $(CHOR^8)_n-CH_2OR^8$ .
48. The compound of Claim 13, wherein  $R^5$  is  $-O-(CH_2)_m-CO_2R^7$ .
49. The compound of Claim 13, wherein  $R^5$  is  $-OSO_3H$ .
50. The compound of Claim 13, wherein  $R^5$  is  $-O$ -glucuronide.
51. The compound of Claim 13, wherein  $R^5$  is  $-O$ -glucose.
52. The compound of Claim 13, wherein  $R^5$  is





57. The compound of Claim 1, wherein

X is halogen;

Y is  $-N(R^7)_2$ ;

$R^1$  is hydrogen or  $C_1$ - $C_3$  alkyl;

$R^2$  is  $-R^7$ ,  $-(CH_2)_m-OR^8$ , or  $-(CH_2)_n-CO_2R^7$ ;

$R^3$  is a group represented by formula (A); and

$R^4$  is hydrogen, a group represented by formula (A), or lower alkyl;

58. The compound of Claim 57, wherein

X is chloro or bromo;

Y is  $-N(R^7)_2$ ;

$R^2$  is hydrogen or  $C_1$ - $C_3$  alkyl;

at most three  $R^6$  are other than hydrogen as defined above;

at most three  $R^L$  are other than hydrogen as defined above; and

at most 2 Q are nitrogen atoms.

59. The compound of Claim 58, wherein Y is  $-NH_2$ .

60. The compound of Claim 59, wherein  $R^4$  is hydrogen;

at most one  $R^L$  is other than hydrogen as defined above;

at most two  $R^6$  are other than hydrogen as defined above; and

at most 1 Q is a nitrogen atom.

61. The compound of Claim 1, wherein  $R^5$  is  $-(CH_2)_m-OR^8$ .
62. The compound of Claim 1, wherein  $R^5$  is  $-O-(CH_2)_m-OR^8$ .
63. The compound of Claim 1, wherein  $R^5$  is  $-(CH_2)_n-NR^7R^{10}$ .
64. The compound of Claim 1, wherein  $R^5$  is  $-O-(CH_2)_m-NR^7R^{10}$ .
65. The compound of Claim 1, wherein  $R^5$  is  $-(CH_2)_n(CHOR^8)(CHOR^8)_n-CH_2OR^8$ .
66. The compound of Claim 1, wherein  $R^5$  is  $-O-(CH_2)_m(CHOR^8)(CHOR^8)_n-CH_2OR^8$ .
67. The compound of Claim 1, wherein  $R^5$  is  $-(CH_2CH_2O)_m-R^8$ .
68. The compound of Claim 1, wherein  $R^5$  is  $-O-(CH_2CH_2O)_m-R^8$ .
69. The compound of Claim 1, wherein  $R^5$  is  $-(CH_2CH_2O)_m-CH_2CH_2NR^7R^{10}$ .
70. The compound of Claim 1, wherein  $R^5$  is  $-O-(CH_2CH_2O)_m-CH_2CH_2NR^7R^{10}$ .
71. The compound of Claim 1, wherein  $R^5$  is  $-(CH_2)_n-C(=O)NR^7R^{10}$ .
72. The compound of Claim 1, wherein  $R^5$  is  $-O-(CH_2)_m-C(=O)NR^7R^{10}$ .
73. The compound of Claim 1, wherein  $R^5$  is  $-(CH_2)_n-(Z)_g-R^7$ .
74. The compound of Claim 1, wherein  $R^5$  is  $-O-(CH_2)_m-(Z)_g-R^7$ .
75. The compound of Claim 1, wherein  $R^5$  is  $-(CH_2)_n-NR^{10}-CH_2(CHOR^8)(CHOR^8)_n-CH_2OR^8$ .

76. The compound of Claim 1, wherein  $R^5$  is  $-O-(CH_2)_m-NR^{10}-CH_2(CHOR^8)(CHOR^8)_n-CH_2OR^8$ .

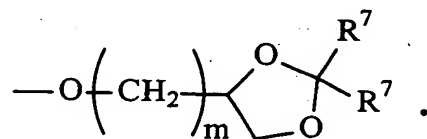
77. The compound of Claim 1, wherein  $R^5$  is  $-O-(CH_2)_m-CO_2R^7$ .

78. The compound of Claim 1, wherein  $R^5$  is  $-OSO_3H$ .

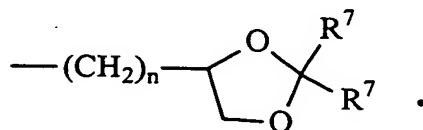
79. The compound of Claim 1, wherein  $R^5$  is  $-O$ -glucuronide.

80. The compound of Claim 1, wherein  $R^5$  is  $-O$ -glucose.

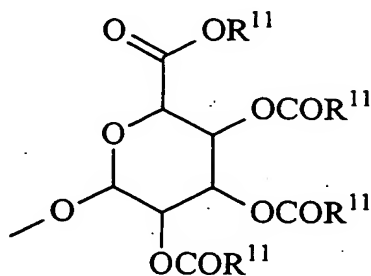
81. The compound of Claim 1, wherein  $R^5$  is



82. The compound of Claim 1, wherein  $R^5$  is



83. The compound of Claim 1, wherein  $R^5$  is



84. The compound of Claim 1, wherein x is a single bond.
85. The compound of Claim 1, which is in the form of a pharmaceutically acceptable salt.
86. A pharmaceutical composition, comprising the compound of Claim 1 and a pharmaceutically acceptable carrier.
87. A method of promoting hydration of mucosal surfaces, comprising:  
administering an effective amount of the compound of Claim 1 to a mucosal surface of a subject.
88. A method of restoring mucosal defense, comprising:  
topically administering an effective amount of the compound of Claim 1 to a mucosal surface of a subject in need thereof.
89. A method of blocking sodium channels, comprising:  
contacting sodium channels with an effective amount of the compound of Claim 1.
90. A method of treating chronic bronchitis, comprising:  
administering an effective amount of the compound of Claim 1 to a subject in need thereof.
91. A method of treating cystic fibrosis, comprising:  
administering an effective amount of the compound of Claim 1 to a subject in need thereof.
92. A method of treating sinusitis, comprising:  
administering an effective amount of the compound of Claim 1 to a subject in need thereof.
93. A method of treating vaginal dryness, comprising:



administering an effective amount of the compound of Claim 1 to the vaginal tract of a subject in need thereof.

94. A method of treating dry eye, comprising:  
administering an effective amount of the compound of Claim 1 to the eye of a subject in need thereof.

95. A method of promoting ocular hydration, comprising:  
administering an effective amount of the compound of Claim 1 to the eye of a subject.

96. A method of promoting corneal hydration, comprising:  
administering an effective amount of the compound of Claim 1 to the eye of a subject.

97. A method of promoting mucus clearance in mucosal surfaces, comprising:  
administering an effective amount of the compound of Claim 1 to a mucosal surface of a subject.

98. A method of treating Sjogren's disease, comprising:  
administering an effective amount of the compound of Claim 1 to a subject in need thereof.

99. A method of treating distal intestinal obstruction syndrome, comprising:  
administering an effective amount of the compound of Claim 1 to a subject in need thereof.

100. A method of treating dry skin, comprising:  
administering an effective amount of the compound of Claim 1 to the skin of a subject in need thereof.

101. A method of treating esophagitis, comprising:  
administering an effective amount of the compound of Claim 1 to a subject in need thereof.

102. A method of treating dry mouth (xerostomia), comprising:  
administering an effective amount of the compound of Claim 1 to the mouth of a  
subject in need thereof.

103. A method of treating nasal dehydration, comprising:  
administering an effective amount of the compound of Claim 1 to the nasal passages  
of a subject in need thereof.

104. The method of Claim 103, wherein the nasal dehydration is brought on by  
administering dry oxygen to the subject.

105. A method of preventing ventilator-induced pneumonia, comprising:  
administering an effective amount of the compound of Claim 1 to a subject on a  
ventilator.

106. A method of treating asthma, comprising:  
administering an effective amount of the compound of Claim 1 to a subject in need  
thereof.

107. A method of treating primary ciliary dyskinesia, comprising:  
administering an effective amount of the compound of Claim 1 to a subject in need  
thereof.

108. A method of treating otitis media, comprising:  
administering an effective amount of the compound of Claim 1 to a subject in need  
thereof.

109. A method of inducing sputum for diagnostic purposes, comprising:  
administering an effective amount of the compound of Claim 1 to a subject in need  
thereof.

110. A method of treating chronic obstructive pulmonary disease, comprising:  
administering an effective amount of the compound of Claim 1 to a subject in need thereof.

111. A method of treating emphysema, comprising:  
administering an effective amount of the compound of Claim 1 to a subject in need thereof.

112. A method of treating pneumonia, comprising:  
administering an effective amount of the compound of Claim 1 to a subject in need thereof.

113. A method of treating constipation, comprising:  
administering an effective amount of the compound of Claim 1 to a subject in need thereof.

114. The method of Claim 113, wherein the compound is administered orally or via a suppository or enema.

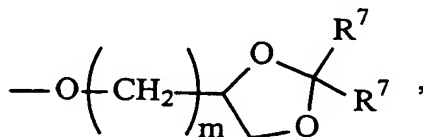
115. A method of treating chronic diverticulitis, comprising:  
administering an effective amount of the compound of Claim 1 to a subject in need thereof.

116. A method of treating rhinosinusitis, comprising:  
administering an effective amount of the compound of Claim 1 to a subject in need thereof.

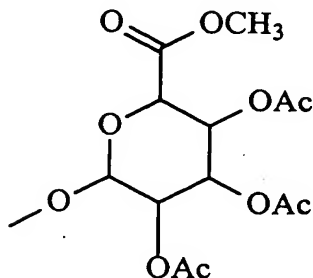
117. A composition, comprising:  
the compound of Claim 1; and  
a P2Y<sub>2</sub> inhibitor.

118. A composition, comprising:  
the compound of Claim 1; and  
a bronchodilator.

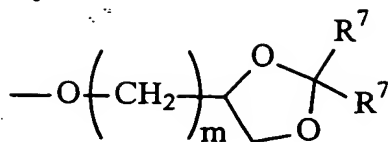
119. The compound of Claim 1, wherein  $R^5$  is selected from the group consisting of  
-O-(CH<sub>2</sub>)<sub>3</sub>-OH, -NH<sub>2</sub>, -O-CH<sub>2</sub>-(CHOH)<sub>2</sub>-CH<sub>2</sub>OH -O-CH<sub>2</sub>-CHOH-CH<sub>2</sub>OH,  
-O-CH<sub>2</sub>CH<sub>2</sub>-O-tetrahydropyran-2-yl, -O-CH<sub>2</sub>CHOH-CH<sub>2</sub>-O-glucuronide,  
-O-CH<sub>2</sub>CH<sub>2</sub>OH, -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>4</sub>-CH<sub>3</sub>, -O-CH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>,  
-O-CH<sub>2</sub>-(CHOC(=O)CH<sub>3</sub>)-CH<sub>2</sub>-OC(=O)CH<sub>3</sub>, -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>2</sub>-CH<sub>3</sub>,  
-OCH<sub>2</sub>-CHOH-CHOH-CH<sub>2</sub>OH, -CH<sub>2</sub>OH, -CO<sub>2</sub>CH<sub>3</sub>,



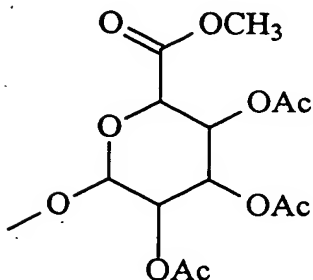
and



120. The compound of Claim 1, wherein  $R^5$  is selected from the group consisting of  
para -O-(CH<sub>2</sub>)<sub>3</sub>-OH, para -NH<sub>2</sub>, para -O-CH<sub>2</sub>-(CHOH)<sub>2</sub>-CH<sub>2</sub>OH, ortho -O-CH<sub>2</sub>-  
CHOH-CH<sub>2</sub>OH, meta -O-CH<sub>2</sub>-CHOH-CH<sub>2</sub>OH, para -O-CH<sub>2</sub>CH<sub>2</sub>-O-tetrahydropyran-  
2-yl, para -O-CH<sub>2</sub>CHOH-CH<sub>2</sub>-O-glucuronide, para -O-CH<sub>2</sub>CH<sub>2</sub>OH, para -O-  
(CH<sub>2</sub>CH<sub>2</sub>O)<sub>4</sub>-CH<sub>3</sub>, para -O-CH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>, para -O-CH<sub>2</sub>-(CHOC(=O)CH<sub>3</sub>)-CH<sub>2</sub>-  
OC(=O)CH<sub>3</sub>, para -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>2</sub>-CH<sub>3</sub>, -OCH<sub>2</sub>-CHOH-CHOH-CH<sub>2</sub>OH, para -  
CH<sub>2</sub>OH, para -CO<sub>2</sub>CH<sub>3</sub>, para -SO<sub>3</sub>H, para -O-glucuronide, para



and  
para



121. The compound of Claim 119, wherein  
X is chloro or bromo;  
Y is -N(R<sup>7</sup>)<sub>2</sub>;  
R<sup>1</sup> is hydrogen or C<sub>1</sub>-C<sub>3</sub> alkyl;  
R<sup>2</sup> is hydrogen or C<sub>1</sub>-C<sub>3</sub> alkyl;  
R<sup>3</sup> is a group represented by formula (A); and  
R<sup>4</sup> is hydrogen, a group represented by formula (A), or lower alkyl;  
at most three R<sup>6</sup> are other than hydrogen as defined above;  
at most three R<sup>L</sup> are other than hydrogen as defined above; and  
at most 2 Q are nitrogen atoms.

122. The compound of Claim 121, wherein  
R<sup>4</sup> is hydrogen;  
at most one R<sup>L</sup> is other than hydrogen as defined above;  
at most two R<sup>6</sup> are other than hydrogen as defined above; and  
at most 1 Q is a nitrogen atom.

123. The compound of Claim 120, wherein

X is chloro or bromo;

Y is  $-N(R^7)_2$ ;

$R^1$  is hydrogen or  $C_1$ - $C_3$  alkyl;

$R^2$  is hydrogen or  $C_1$ - $C_3$  alkyl;

$R^3$  is a group represented by formula (A); and

$R^4$  is hydrogen, a group represented by formula (A), or lower alkyl;

at most three  $R^6$  are other than hydrogen as defined above;

at most three  $R^L$  are other than hydrogen as defined above; and

at most 2 Q are nitrogen atoms.

124. The compound of Claim 123, wherein

$R^4$  is hydrogen;

at most one  $R^L$  is other than hydrogen as defined above;

at most two  $R^6$  are other than hydrogen as defined above; and

at most 1 Q is a nitrogen atom.